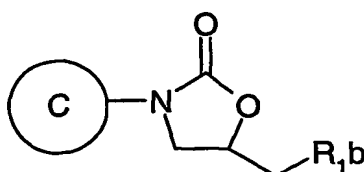


Claims

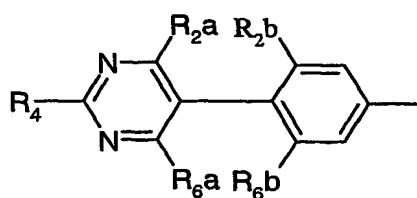
1. A compound of the formula (I), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolysable ester thereof,

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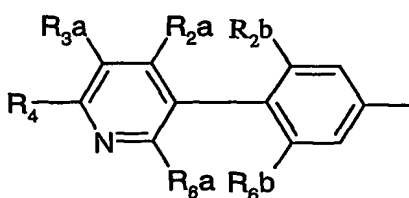


(I)

wherein C is selected from D and E,



D



E

10

wherein in D and E the phenyl ring is attached to the oxazolidinone in (I);

R_{1b} is -NR_z-Z wherein R_z is hydrogen, (1-6C)alkyl or -COOR₅ wherein R₅ is (1-6C)alkyl optionally substituted by one or more chlorine atoms;

Z is HET-1 wherein

- 15 HET-1 is selected from HET-1A and HET-1B wherein:

HET-1A is a C-linked 5-membered heteroaryl ring containing 2 to 4 heteroatoms independently selected from N, O and S; which ring is optionally substituted on a C atom by an oxo or thioxo group; and/or which ring is optionally substituted on any available C atom by one or two substituents selected from RT as hereinafter defined and/or on an available

- 20 nitrogen atom, (provided that the ring is not thereby quaternised) by (1-4C)alkyl;

HET-1B is a C-linked 6-membered heteroaryl ring containing 2 or 3 nitrogen heteroatoms, which ring is optionally substituted on a C atom by an oxo or thioxo group; and/or which ring is optionally substituted on any available C atom by one, two or three substituents selected from RT as hereinafter defined and/or on an available nitrogen atom, (provided that the ring is

- 25 not thereby quaternised) by (1-4C)alkyl;

RT is selected from a substituent from the group:

(RTa1) hydrogen, halogen, (1-4C)alkoxy, (2-4C)alkenyloxy, (2-4C)alkenyl,

(2-4C)alkynyl, (3-6C)cycloalkyl, (3-6C)cycloalkenyl, (1-4C)alkylthio, amino, azido, cyano and nitro; or

(RTa2) (1-4C)alkylamino, di-(1-4C)alkylamino, and (2-4C)alkenylamino;

or RT is selected from the group

- 5 (RTb1) (1-4C)alkyl group which is optionally substituted by one substituent selected from hydroxy, (1-4C)alkoxy, (1-4C)alkylthio, cyano and azido; or

(RTb2) (1-4C)alkyl group which is optionally substituted by one substituent selected from (2-4C)alkenyl, (3-6C)cycloalkyl, and (3-6C)cycloalkenyl;

or RT is selected from the group

- 10 (RTc) a fully saturated 4-membered monocyclic ring containing 1 or 2 heteroatoms independently selected from O, N and S (optionally oxidised), and linked via a ring nitrogen or carbon atom;

and wherein at each occurrence of an RT substituent containing an alkyl, alkenyl, alkynyl, cycloalkyl or cycloalkenyl moiety in (RTa1) or (RTa2), (RTb1) or (RTb2), or (RTc) each

- 15 such moiety is optionally substituted on an available carbon atom with one, two, three or more substituents independently selected from F, Cl, Br, OH and CN;

R_{2a} and R_{6a} are independently selected from H, CF₃, OMe, SMe, Me and Et;

R_{2b} and R_{6b} are independently selected from H, F, Cl, CF₃, OMe, SMe, Me and Et;

R_{3a} is selected from H, (1-4C)alkyl, cyano, Br, F, Cl, OH, (1-4C)alkoxy, -S(O)_n(1-4C)alkyl

- 20 (wherein n = 0, 1, or 2), amino, (1-4C)alkylcarbonylamino, nitro, -CHO, -CO(1-4C)alkyl, -CONH₂ and -CONH(1-4C)alkyl;

R₄ is selected from R_{4a} and R_{4b} wherein

R_{4a} is selected from azido, -NR₇R₈, OR₁₀, (1-4C)alkyl, (1-4C)alkoxy, (3-6C)cycloalkyl, -(CH₂)_k-R₉, AR₁, AR₂, (1-4C)alkanoyl, -CS(1-4C)alkyl, -C(=W)NR_vR_w [wherein W is O or

- 25 S, R_v and R_w are independently H, or (1-4C)alkyl], -(C=O)_l-R₆, -COO(1-4C)alkyl, -C=OAR₁, -C=OAR₂, -COOAR₁, -S(O)_n(1-4C)alkyl (wherein n = 1 or 2), -S(O)_pAR₁, -S(O)_pAR₂ and -C(=S)O(1-4C)alkyl; wherein any (1-4C)alkyl chain may be optionally substituted by (1-4C)alkyl, cyano, hydroxy or halo;

p = 0, 1 or 2;

- 30 R_{4b} is selected from HET-3;

R₆ is selected from hydrogen, (1-4C)alkoxy, amino, (1-4C)alkylamino and hydroxy(1-4C)alkylamino;

k is 1 or 2;

1 is 1 or 2;

R_7 and R_8 are independently selected from H and (1-4C)alkyl, or wherein R_7 and R_8 taken together with the nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O) n (wherein $n = 1$ or 2) in place of 1 carbon atom of the so formed ring; wherein the ring may be optionally substituted by one or two groups independently selected from (1-4C)alkyl, (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O) n (1-4C)alkyl (wherein $n = 1$ or 2), AR1, AR2, , -C=OAR1, -C=OAR2, -COOAR1, -CS(1-4C)alkyl, -C(=S)O(1-4C)alkyl, -C(=W)NR v R w [wherein W is O or S, R v and R w are independently H, or (1-4C)alkyl], -S(O) p AR1 and -S(O) p AR2; wherein any (1-4C)alkyl, (3-6C)cycloalkyl or (1-4C)alkanoyl group may be optionally substituted (except on a carbon atom adjacent to a heteroatom) by one or two substituents selected from (1-4C)alkyl, cyano, hydroxy, halo, amino, (1-4C)alkylamino and di(1-4C)alkylamino; $p = 0, 1$ or 2 ;

R_9 is independently selected from R_{9a} to R_{9d} below:

15 R_{9a} : AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a, CY1, CY2;

R_{9b} : cyano, carboxy, (1-4C)alkoxycarbonyl, -C(=W)NR v R w [wherein W is O or S, R v and R w are independently H, or (1-4C)alkyl and wherein R v and R w taken together with the amide or thioamide nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O) n in place of 1 carbon atom of the so formed ring; wherein when said ring is a piperazine ring, the ring may be optionally substituted on the additional nitrogen by a group selected from (1-4C)alkyl, (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O) n (1-4C)alkyl (wherein $n = 1$ or 2), -COOAR1, -CS(1-4C)alkyl and -C(=S)O(1-4C)alkyl; wherein any alkyl, alkanoyl or cycloalkyl may itself optionally be substituted by cyano, hydroxy or halo], ethenyl, 2-(1-4C)alkylethenyl, 2-cyanoethenyl, 2-cyano-2'-((1-4C)alkyl)ethenyl, 2-nitroethenyl, 2-nitro-2-((1-4C)alkyl)ethenyl, 2-((1-4C)alkylaminocarbonyl)ethenyl, 2-((1-4C)alkoxycarbonyl)ethenyl, 2-(AR1)ethenyl, 2-(AR2)ethenyl, 2-(AR2a)ethenyl;

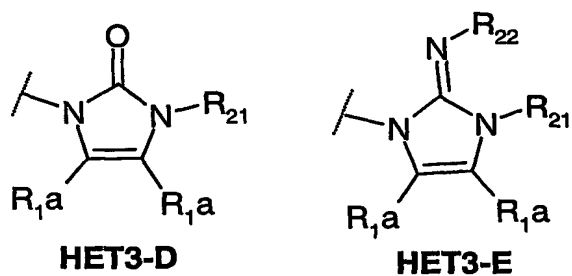
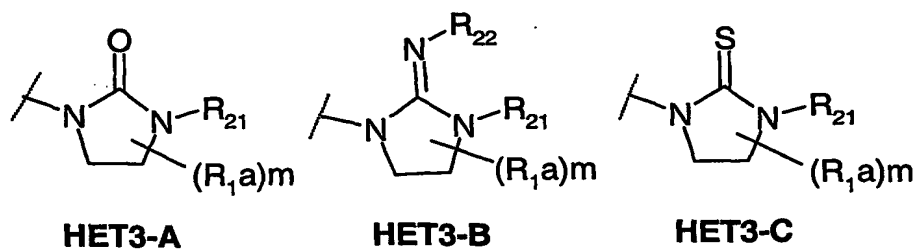
R_{9c} : (1-6C)alkyl

{optionally substituted by one or more groups (including geminal disubstitution) each independently selected from hydroxy, (1-10C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkylcarbonyl, phosphoryl [-O-P(O)(OH) $_2$, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH) $_2$ and mono- and di-(1-4C)alkoxy derivatives thereof], and amino; and/or optionally substituted by one group

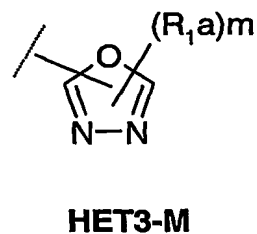
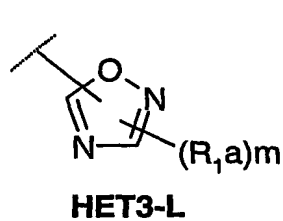
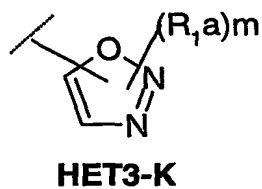
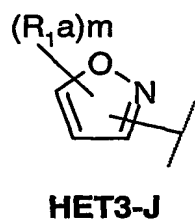
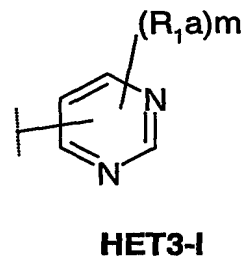
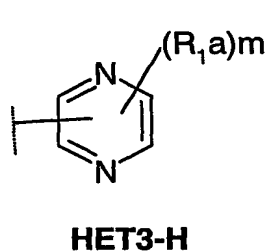
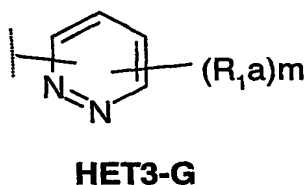
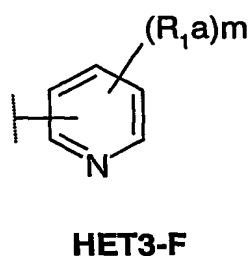
-62-

- selected from carboxy, phosphonate [phosphono, $-P(O)(OH)_2$, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphinate [$-P(OH)_2$ and mono- and di-(1-4C)alkoxy derivatives thereof], cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkylamino, di((1-4C)alkyl)amino, (1-6C)alkanoylamino-, (1-4C)alkoxycarbonylamino-, N-(1-4C)alkyl-N-(1-6C)alkanoylamino-, $-C(=W)NR_vR_w$ [wherein W is O or S, R_v and R_w are as hereinbefore defined], $(=NOR_v)$ wherein R_v is as hereinbefore defined, (1-4C)alkylS(O)_pNH-, (1-4C)alkylS(O)_p-((1-4C)alkyl)N-, fluoro(1-4C)alkylS(O)_pNH-, fluoro(1-4C)alkylS(O)_p((1-4C)alkyl)N-, (1-4C)alkylS(O)_q-, CY1, CY2, AR1, AR2, AR3, AR1-O-, AR2-O-, AR3-O-, AR1-S(O)_q-, AR2-S(O)_q-, AR3-S(O)_q-, AR1-NH-, AR2-NH-, AR3-NH- (p is 1 or 2 and q is 0, 1 or 2), and also AR2a, AR2b, AR3a and AR3b versions of AR2 and AR3 containing groups}; wherein any (1-4C)alkyl present in any substituent on R_{9c} may itself be substituted by one or two groups independently selected from cyano, hydroxy, halo, amino, (1-4C)alkylamino and di(1-4C)alkylamino, provided that such a substituent is not on a carbon adjacent to a heteroatom atom if present;
- R_{9d} : $R_{14}C(O)O(1-6C)alkyl$ - wherein R_{14} is AR1, AR2, (1-4C)alkylamino, benzyloxy-(1-4C)alkyl or (1-10C)alkyl {optionally substituted as defined for (R_{9c})};
- R_{10} is selected from hydrogen, R_{9c} (as hereinbefore defined), (3-6C)alkanoyl and (1-4C)alkylsulfonyl;
- HET-3 is selected from:
- a) a 5-membered heterocyclic ring containing at least one nitrogen and/or oxygen in which any carbon atom is a C=O, C=N, or C=S group, wherein said ring is of the formula HET3-A to HET3-E below:

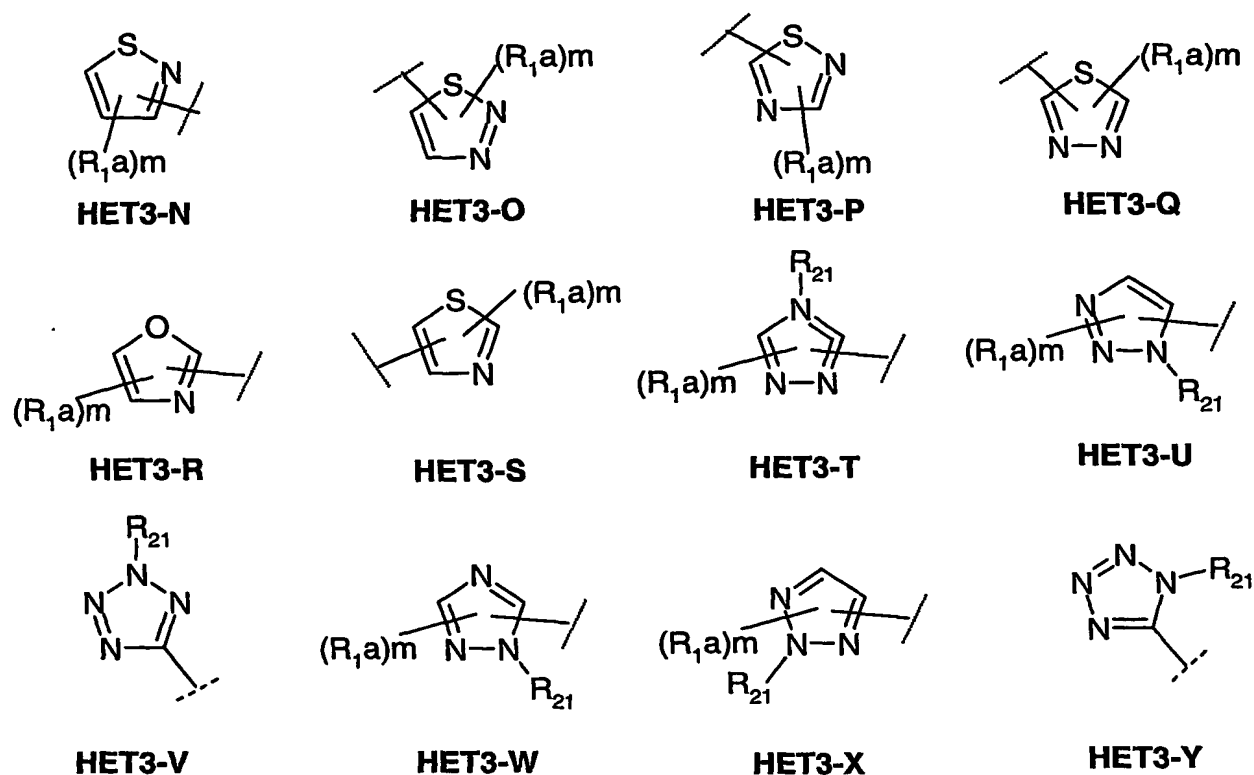
-63-



b) a carbon-linked 5- or 6-membered heteroaromatic ring containing 1, 2, 3, or 4 heteroatoms independently selected from N, O and S selected from HET3-F to HET3-Y below:

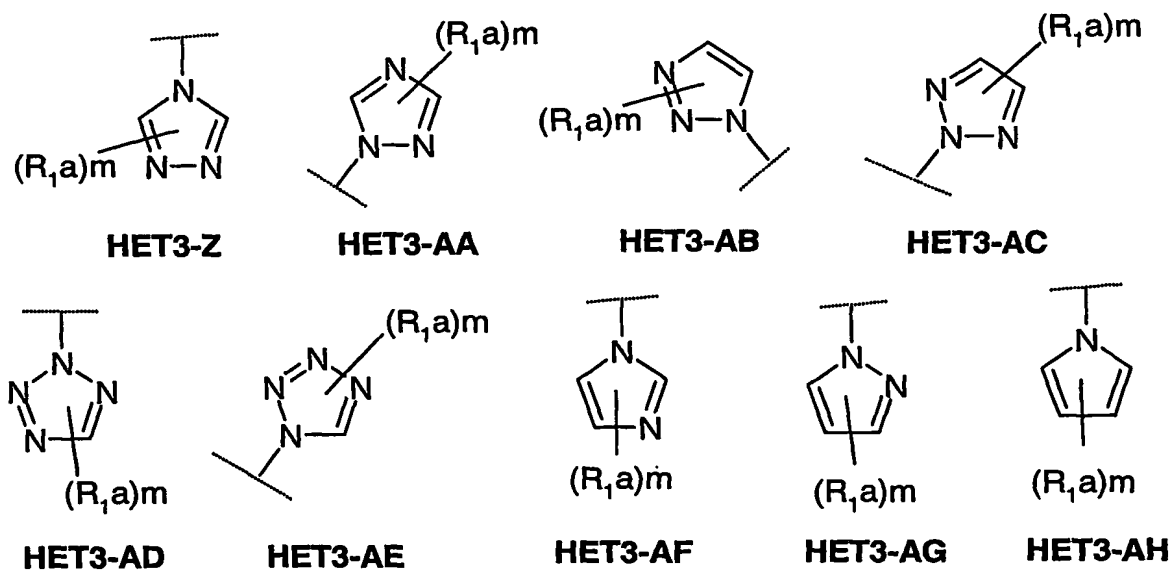


-64-



c) a nitrogen-linked 5- or 6-membered heteroaromatic ring containing 1, 2, 3, or 4 heteroatoms independently selected from N, O and S selected from HET3-Z to HET3-AH

5 below:



wherein in HET-3, R_1a is a substituent on carbon;

R_1a is independently selected from R_{1a1} to R_{1a5} below:

R_{1a1}: AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a, CY1, CY2;

R_{1a2}: cyano, carboxy, (1-4C)alkoxycarbonyl, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are independently H, or (1-4C)alkyl and wherein R_v and R_w taken together with the amide or thioamide nitrogen to which they are attached can form a 5-7 membered ring

- 5 optionally with an additional heteroatom selected from N, O, S(O)_n in place of 1 carbon atom of the so formed ring; wherein when said ring is a piperazine ring, the ring may be optionally substituted on the additional nitrogen by a group selected from (1-4C)alkyl, (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O)_n(1-4C)alkyl (wherein n = 1 or 2), -COOAR1, -CS(1-4C)alkyl) and -C(=S)O(1-4C)alkyl; wherein any (1-4C)alkyl, (1-4C)alkanoyl and
- 10 (3-6C)cycloalkyl substituent may itself be substituted by cyano, hydroxy or halo, provided that, such a substituent is not on a carbon adjacent to a nitrogen atom of the piperazine ring], ethenyl, 2-(1-4C)alkylethenyl, 2-cyanoethenyl, 2-cyano-2-((1-4C)alkyl)ethenyl, 2-nitroethenyl, 2-nitro-2-((1-4C)alkyl)ethenyl, 2-((1-4C)alkylaminocarbonyl)ethenyl, 2-((1-4C)alkoxycarbonyl)ethenyl, 2-(AR1)ethenyl, 2-(AR2)ethenyl, 2-(AR2a)ethenyl;

15 R_{1a3}: (1-10C)alkyl

- {optionally substituted by one or more groups (including geminal disubstitution) each independently selected from hydroxy, (1-10C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxy, (1-4C)alkylcarbonyl, phosphoryl [-O-P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphiryl [-O-P(OH)₂ and mono- and
- 20 di-(1-4C)alkoxy derivatives thereof], and amino; and/or optionally substituted by one group selected from carboxy, phosphonate [phosphono, -P(O)(OH)₂, and mono- and di-(1-4C)alkoxy derivatives thereof], phosphinate [-P(OH)₂ and mono- and di-(1-4C)alkoxy derivatives thereof], cyano, halo, trifluoromethyl, (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkylamino, di((1-4C)alkyl)amino, (1-6C)alkanoylamino-, (1-4C)alkoxycarbonylamino-, N-(1-4C)alkyl-
- 25 N-(1-6C)alkanoylamino-, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are independently H, or (1-4C)alkyl and wherein R_v and R_w taken together with the amide or thioamide nitrogen to which they are attached can form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)_n in place of 1 carbon atom of the so
- 30 formed ring; wherein when said ring is a piperazine ring, the ring may be optionally substituted on the additional nitrogen by a group selected from (1-4C)alkyl, (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O)_n(1-4C)alkyl (wherein n = 1 or 2), -COOAR1,

- CS(1-4C)alkyl and -C(=S)O(1-4C)alkyl], (=NOR_v) wherein R_v is as hereinbefore defined, (1-4C)alkylS(O)_pNH-, (1-4C)alkylS(O)_p-((1-4C)alkyl)N-, fluoro(1-4C)alkylS(O)_pNH-, fluoro(1-4C)alkylS(O)_p((1-4C)alkyl)N-, (1-4C)alkylS(O)_q-, CY1, CY2, AR1, AR2, AR3, AR1-O-, AR2-O-, AR3-O-, AR1-S(O)_q-, AR2-S(O)_q-, AR3-S(O)_q-, AR1-NH-, AR2-NH-,
- 5 AR3-NH- (p is 1 or 2 and q is 0, 1 or 2), and also AR2a, AR2b, AR3a and AR3b versions of AR2 and AR3 containing groups}; wherein any (1-4C)alkyl, (1-4C)alkanoyl and (3-6C)cycloalkyl present in any substituent on R_{1a3} may itself be substituted by one or two groups independently selected from cyano, hydroxy, halo, amino, (1-4C)alkylamino and di(1-4C)alkylamino, provided that such a substituent is not on a carbon adjacent to a
- 10 heteroatom atom if present;
- R_{1a4}: R₁₄C(O)O(1-6C)alkyl- wherein R₁₄ is as hereinbefore defined for R_{9d};
- R_{1a5}: F, Cl, hydroxy, mercapto, (1-4C)alkylS(O)_p- (p = 0, 1 or 2), -NR₇R₈ (wherein R₇ and R₈ are as hereinbefore defined) or -OR₁₀ (where R₁₀ is as hereinbefore defined); m is 0, 1 or 2;
- 15 R₂₁ is selected from hydrogen, methyl [optionally substituted with cyano, trifluoromethyl, -C=WNR_vR_w (where W, R_v and R_w are as hereinbefore defined for R_{1a3}), (1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxycarbonyl, (1-4C)alkoxy-(1-4C)alkoxy-(1-4C)alkoxycarbonyl, CY1, CY2, AR1, AR2, AR2a, AR2b (not linked through nitrogen) or AR3], (2-10C)alkyl [optionally substituted other than on a carbon attached to the HET-3 ring
- 20 nitrogen with one or two groups independently selected from the optional substituents defined for R_{1a3}] and R₁₄C(O)O(2-6C)alkyl-, wherein R₁₄ is as defined hereinbefore and wherein R₁₄C(O)O group is attached to a carbon other than the carbon attached to the HET-3 ring nitrogen;
- R₂₂ is cyano, -COR₁₂, -COOR₁₂, -CONHR₁₂, -CON(R₁₂)(R₁₃), -SO₂R₁₂ (provided that R₁₂ is
- 25 not hydrogen), -SO₂NHR₁₂, -SO₂N(R₁₂)(R₁₃) or NO₂, wherein R₁₂ and R₁₃ are as defined hereinbelow;
- R₁₂ and R₁₃ are independently selected from hydrogen, phenyl (optionally substituted with one or more substituents selected from halogen, (1-4C)alkyl and (1-4C)alkyl substituted with one, two, three or more halogen atoms) and (1-4C)alkyl (optionally substituted with one, two,
- 30 three or more halogen atoms), or for any N(R₁₂)(R₁₃) group, R₁₂ and R₁₃ may be taken together with the nitrogen to which they are attached to form a 5-7 membered ring optionally with an additional heteroatom selected from N, O, S(O)_n in place of 1 carbon atom of the so formed ring; wherein the ring may be optionally substituted by one or two groups

- independently selected from (1-4C)alkyl (optionally substituted on a carbon not adjacent to the nitrogen by cyano, hydroxy or halo), (3-6C)cycloalkyl, (1-4C)alkanoyl, -COO(1-4C)alkyl, -S(O)_n(1-4C)alkyl (wherein *n* = 1 or 2), AR1, AR2, , -C=OAR1, -C=OAR2, -COOAR1, -CS(1-4C)alkyl, -C(=S)O(1-4C)alkyl, -C(=W)NR_vR_w [wherein W is O or S, R_v and R_w are
- 5 independently H, or (1-4C)alkyl], -S(O)_pAR1 and -S(O)_pAR2; wherein any (1-4C)alkyl chain may be optionally substituted by (1-4C)alkyl, cyano, hydroxy or halo; *p* = 0, 1 or 2;
- AR1 is an optionally substituted phenyl or optionally substituted naphthyl;
- AR2 is an optionally substituted 5- or 6-membered, fully unsaturated (i.e. with the maximum degree of unsaturation) monocyclic heteroaryl ring containing up to four heteroatoms
- 10 independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom, or a ring nitrogen atom if the ring is not thereby quaternised;
- AR2a is a partially hydrogenated version of AR2 (i.e. AR2 systems retaining some, but not the full, degree of unsaturation), linked via a ring carbon atom or linked via a ring nitrogen atom if the ring is not thereby quaternised;
- 15 AR2b is a fully hydrogenated version of AR2 (i.e. AR2 systems having no unsaturation), linked via a ring carbon atom or linked via a ring nitrogen atom;
- AR3 is an optionally substituted 8-, 9- or 10-membered, fully unsaturated (i.e. with the maximum degree of unsaturation) bicyclic heteroaryl ring containing up to four heteroatoms independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and
- 20 linked via a ring carbon atom in either of the rings comprising the bicyclic system;
- AR3a is a partially hydrogenated version of AR3 (i.e. AR3 systems retaining some, but not the full, degree of unsaturation), linked via a ring carbon atom, or linked via a ring nitrogen atom if the ring is not thereby quaternised, in either of the rings comprising the bicyclic system;
- 25 AR3b is a fully hydrogenated version of AR3 (i.e. AR3 systems having no unsaturation), linked via a ring carbon atom, or linked via a ring nitrogen atom, in either of the rings comprising the bicyclic system;
- AR4 is an optionally substituted 13- or 14-membered, fully unsaturated (i.e. with the maximum degree of unsaturation) tricyclic heteroaryl ring containing up to four heteroatoms
- 30 independently selected from O, N and S (but not containing any O-O, O-S or S-S bonds), and linked via a ring carbon atom in any of the rings comprising the tricyclic system;
- AR4a is a partially hydrogenated version of AR4 (i.e. AR4 systems retaining some, but not the full, degree of unsaturation), linked via a ring carbon atom, or linked via a ring nitrogen

atom if the ring is not thereby quaternised, in any of the rings comprising the tricyclic system;
CY1 is an optionally substituted cyclobutyl, cyclopentyl or cyclohexyl ring;

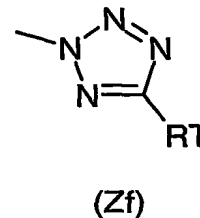
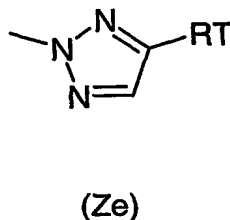
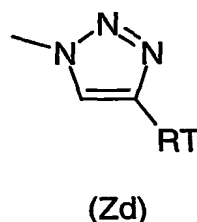
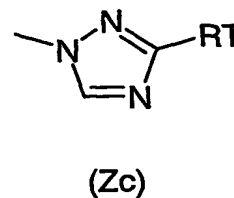
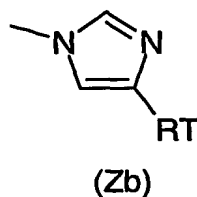
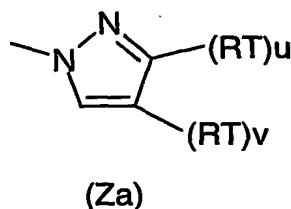
CY2 is an optionally substituted cyclopentenyl or cyclohexenyl ring;

wherein; optional substituents on AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a,

- 5 CY1 and CY2 are (on an available carbon atom) up to three substituents independently selected from (1-4C)alkyl {optionally substituted by substituents selected independently from hydroxy, trifluoromethyl, (1-4C)alkyl S(O)_q- (q is 0, 1 or 2), (1-4C)alkoxy, (1-4C)alkoxycarbonyl, cyano, nitro, (1-4C)alkanoylamino, -CONR_vR_w or -NR_vR_w}, trifluoromethyl, hydroxy, halo, nitro, cyano, thiol, (1-4C)alkoxy, (1-4C)alkanoyloxy,
- 10 dimethylaminomethyleneaminocarbonyl, di(N-(1-4C)alkyl)aminomethylimino, carboxy, (1-4C)alkoxycarbonyl, (1-4C)alkanoyl, (1-4C)alkylSO₂amino, (2-4C)alkenyl {optionally substituted by carboxy or (1-4C)alkoxycarbonyl}, (2-4C)alkynyl, (1-4C)alkanoylamino, oxo (=O), thioxo (=S), (1-4C)alkanoylamino {the (1-4C)alkanoyl group being optionally substituted by hydroxy}, (1-4C)alkyl S(O)_q- (q is 0, 1 or 2) {the (1-4C)alkyl group being
- 15 optionally substituted by one or more groups independently selected from cyano, hydroxy and (1-4C)alkoxy}, -CONR_vR_w or -NR_vR_w [wherein R_v is hydrogen or (1-4C)alkyl; R_w is hydrogen or (1-4C)alkyl];
- and further optional substituents on AR1, AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4, AR4a, CY1 and CY2 (on an available carbon atom), and also on alkyl groups (unless
- 20 indicated otherwise) are up to three substituents independently selected from trifluoromethoxy, benzoylamino, benzoyl, phenyl {optionally substituted by up to three substituents independently selected from halo, (1-4C)alkoxy or cyano}, furan, pyrrole, pyrazole, imidazole, triazole, pyrimidine, pyridazine, pyridine, isoxazole, oxazole, isothiazole, thiazole, thiophene, hydroxyimino(1-4C)alkyl, (1-4C)alkoxyimino(1-4C)alkyl,
- 25 halo-(1-4C)alkyl, (1-4C)alkanesulfonamido, -SO₂NR_vR_w [wherein R_v is hydrogen or (1-4C)alkyl; R_w is hydrogen or (1-4C)alkyl]; and
- optional substituents on AR2, AR2a, AR2b, AR3, AR3a, AR3b, AR4 and AR4a are (on an available nitrogen atom, where such substitution does not result in quaternization)
- (1-4C)alkyl, (1-4C)alkanoyl {wherein the (1-4C)alkyl and (1-4C)alkanoyl groups are
- 30 optionally substituted by (preferably one) substituents independently selected from cyano, hydroxy, nitro, trifluoromethyl, (1-4C)alkyl S(O)_q- (q is 0, 1 or 2), (1-4C)alkoxy, (1-4C)alkoxycarbonyl, (1-4C)alkanoylamino, -CONR_vR_w or -NR_vR_w [wherein R_v is hydrogen or (1-4C)alkyl; R_w is hydrogen or (1-4C)alkyl]}, (2-4C)alkenyl, (2-4C)alkynyl,

(1-4C)alkoxycarbonyl or oxo (to form an N-oxide).

2. A compound of the formula (I) as claimed in claim 1, or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein R_{1b} is HET1 wherein HET1
5 is selected from the structures (Za) to (Zf),



wherein u and v are independently 0 or 1 and RT is selected from:

- 10 (a) hydrogen;
 (b) halogen;
 (c) cyano;
 (d) (1-4C)alkyl;
 (e) monosubstituted (1-4C)alkyl;
 15 (f) disubstituted (1-4C)alkyl, and
 (g) trisubstituted (1-4C)alkyl.

3. A compound of the formula (I) as claimed in claim 1 or claim 2, or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein R₄ is R_{4b}.

20

4. A compound of the formula (I) as claimed in any preceding claim or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein HET-3 is selected from HET3-T, HET3-V, HET3-Y and HET-3-W.

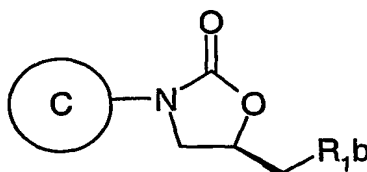
5. A compound of the formula (I) as claimed in any preceding claim, or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein HET-3 is selected from HET3-V and HET3-Y.

5 6. A compound of the formula (I) as claimed in any preceding claim, or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein R_{1a} is R_{1a3} .

7. A compound of the formula (I) as claimed in any preceding claim, or a
10 pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein group C is group D.

8. A compound of the formula (I) as claimed in any one of claims 1 to 6, or a
15 pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein group C is group E.

9. A compound of the formula (Ia), or a pharmaceutically-acceptable salt, or an in-vivo hydrolysable ester thereof, wherein C and R_{1b} have meanings as stated in any one of the preceding claims.



(Ia)

10. A pro-drug of a compound as claimed in any one of the previous claims.

11 A method for producing an antibacterial effect in a warm blooded animal which comprises administering to said animal an effective amount of a compound of the invention as claimed in any one of claims 1 to 9, or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof.

-71-

12. A compound of the invention as claimed in any one of claims 1 to 9, or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof, for use as a medicament.

13. The use of a compound of the invention as claimed in any one of claims 1 to 9, or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof, in the manufacture of a medicament for use in the production of an antibacterial effect in a warm blooded animal.

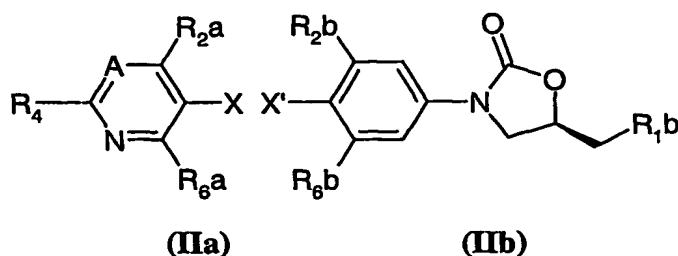
14. A pharmaceutical composition which comprises a compound of the invention as claimed in any one of claims 1 to 9, or a pharmaceutically-acceptable salt or an in-vivo hydrolysable ester thereof, and a pharmaceutically-acceptable diluent or carrier.

15. A process for the preparation of a compound of formula (I) as claimed in claim 1 or pharmaceutically acceptable salts or in-vivo hydrolysable esters thereof, which process comprises one of processes (a) to (f); and thereafter if necessary:

- i) removing any protecting groups;
- ii) forming a pro-drug (for example an in-vivo hydrolysable ester); and/or
- iii) forming a pharmaceutically-acceptable salt;

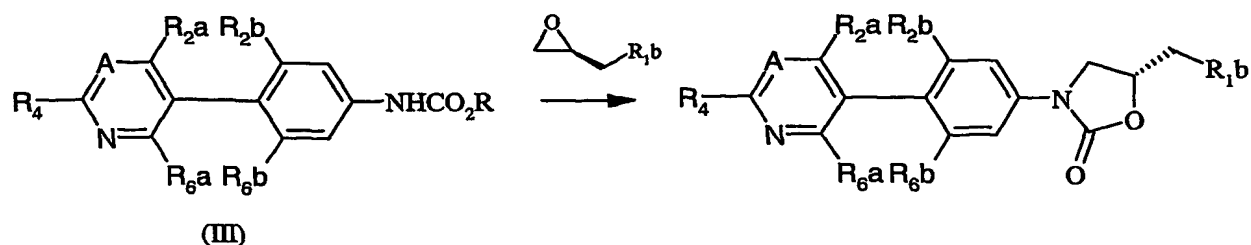
wherein said processes (a) to (f) are:

- a) by modifying a substituent in, or introducing a substituent into another compound of the invention;
- b) by reaction of a molecule of a compound of formula (IIa) [wherein X is a leaving group useful in palladium coupling and A is either N or C-R_{3a}] with a molecule of a compound of formula (IIb) (wherein X' is a leaving group useful in palladium coupling) wherein X and X' are such that an aryl-aryl, heteroaryl-aryl, or heteroaryl-heteroaryl bond replaces the aryl-X (or heteroaryl-X) and aryl-X' (or heteroaryl-X') bonds; and X and X' are chosen to be different to lead to the desired cross-coupling products of formula (I);

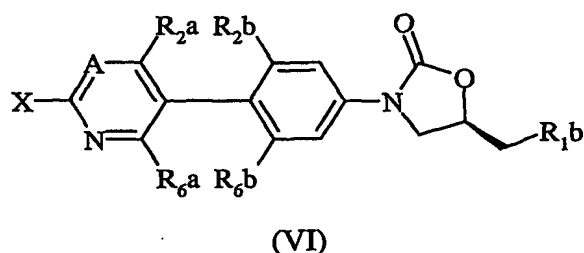


-72-

c) by reaction of a heterobiaryl derivative (III) carbamate [where A is either N or C-R_{3a}] with an appropriately substituted oxirane to form an oxazolidinone ring;



5 (d) by reaction of a compound of formula (VI) :



where X is a replaceable substituent with a compound of the formula (VII):

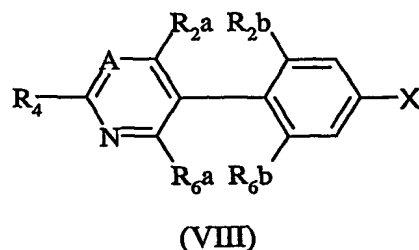


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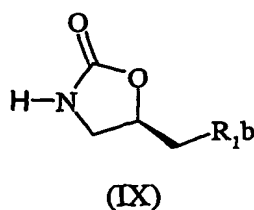


wherein T-X' is HET1 or HET2 as herein above defined and X' is a replaceable C-linked substituent; wherein the substituents X and X' are chosen to be complementary pairs of substituents suitable as complementary substrates for coupling reactions catalysed by transition metals such as palladium(0);

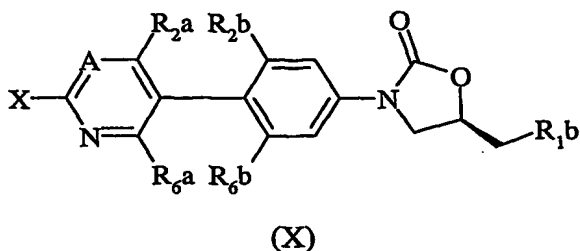
15 (d(i)) by reaction catalysed by transition metals such as palladium(0) of a compound of formula (VIII):



wherein X is a replaceable substituent with a compound of the formula (IX);



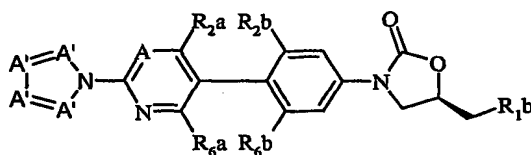
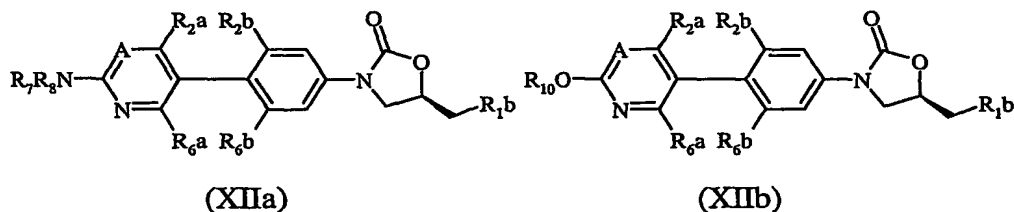
(d(ii)) by reaction of a compound of formula (X):



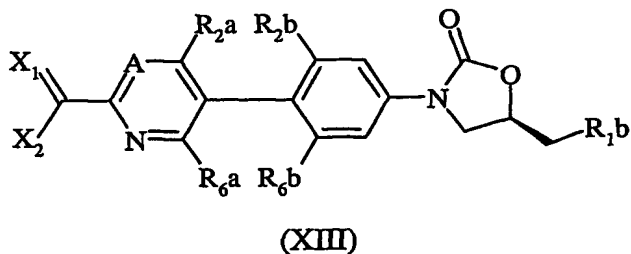
5 wherein X is a replaceable substituent and wherein A is either N or C-R_{3a}, with a compound of the formula (XI):



wherein T-H is an amine R₇R₈NH, an alcohol R₁₀OH, or an azole with an available ring-NH
10 group to give compounds (XIIa), (XIIb), or (XIIc) wherein in this instance A is nitrogen or C-R_{3a} and A' is nitrogen or carbon optionally substituted with one or more groups R_{1a};

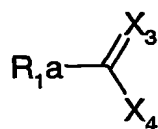


15 (e) by reaction of a compound of formula (XIII):



wherein X₁ and X₂ here are independently optionally substituted heteroatoms drawn in
combination from O, N, and S such that C(X₁)X₂ constitutes a substituent that is a carboxylic
20 acid derivative substituent with a compound of the formula (XIV) and X₃ and X₄ are
independently optionally substituted heteroatoms drawn in combination from O, N, and S:

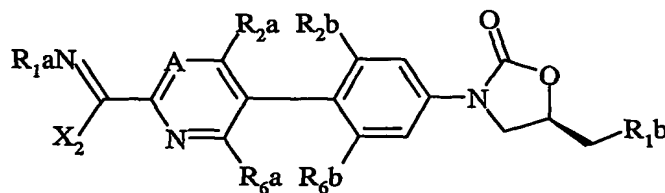
-74-



(XIV)

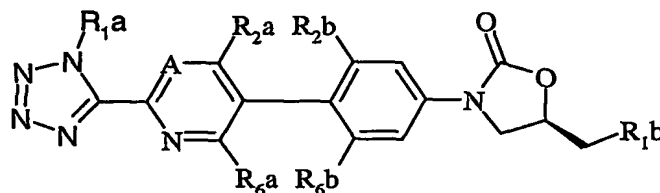
and wherein one of $\text{C}(\text{X}_1)\text{X}_2$ and $\text{C}(\text{X}_3)\text{X}_4$ constitutes an optionally substituted hydrazide, thiohydrazide, or amidrazone, hydroximide, or hydroxamidine and the other one of $\text{C}(\text{X}_1)\text{X}_2$ and $\text{C}(\text{X}_3)\text{X}_4$ constitutes an optionally substituted acylating, thioacylating, or imido-
 5 agent such that $\text{C}(\text{X}_1)\text{X}_2$ and $\text{C}(\text{X}_3)\text{X}_4$ may be condensed together to form a 1,2,4-heteroatom 5-membered heterocycle containing 3 heteroatoms drawn in combination from O, N, and S, for instance thiadiazole;

(e (i)) by reaction of a compound of formula (XV):



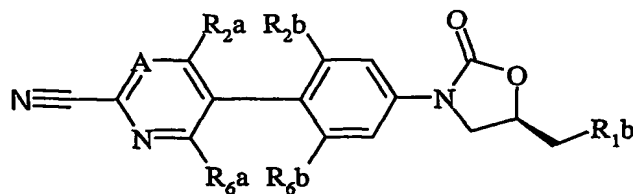
(XV)

wherein X_2 is a displaceable group with a source of azide anion to give a tetrazole (XVI);



(XVI)

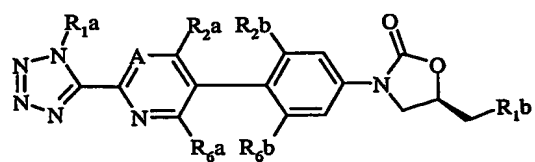
15 or nitriles of formula (XVII)



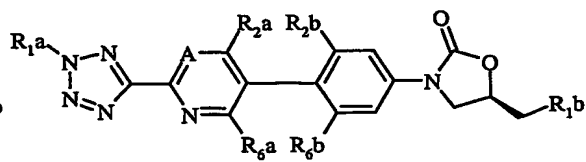
(XVII)

may be reacted directly with azides to give tetrazoles (XVI, $\text{R}_{1a} = \text{H}$) that are subsequently alkylated with groups $\text{R}_{1a} \neq \text{H}$ to give tetrazoles (XVIIIa) and (XVIIIb);

-75-

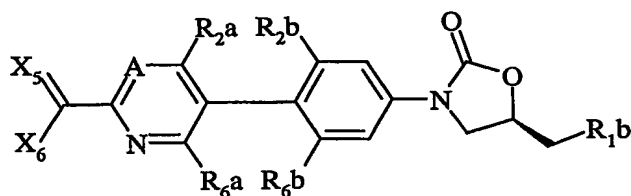


(XVIIIa)



(XVIIIb)

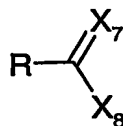
(f) by reaction of a compound of formula (XIX):



(XIX)

5

with a compound of the formula (XX):



(XX)

wherein one of C(X₅)X₆ and C(X₇)X₈ constitutes an optionally substituted alpha-(leaving-

10 group-substituted)ketone, and the other one of C(X₅)X₆ and C(X₇)X₈ constitutes an optionally substituted amide, thioamide, or amidine, such that C(X₅)X₆ and C(X₇)X₈ are groups that may be condensed together to form a 1,3-heteroatom 5-membered heterocycle containing 2 heteroatoms drawn in combination from O, N, and S.

15